



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 148958

TO: Rei-Tsang Shiao
Location: 5a10 / 5c18
Monday, April 04, 2005
Art Unit: 1626
Phone: 571-272-0707
Serial Number: 10 / 652634

From: Jan Delaval
Location: Biotech-Chem Library
Remsen 1a51
Phone: 571-272-22504
jan.delaval@uspto.gov

Search Notes

=> fil reg
FILE 'REGISTRY' ENTERED AT 08:45:54 ON 04 APR 2005
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3
DICTIONARY FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

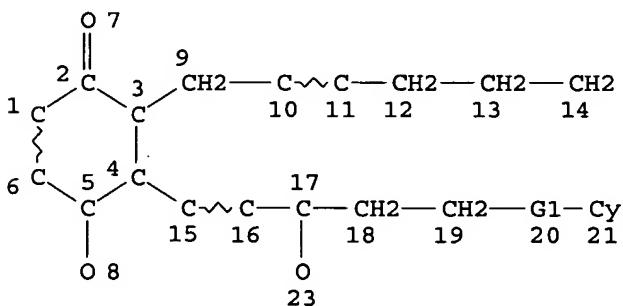
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

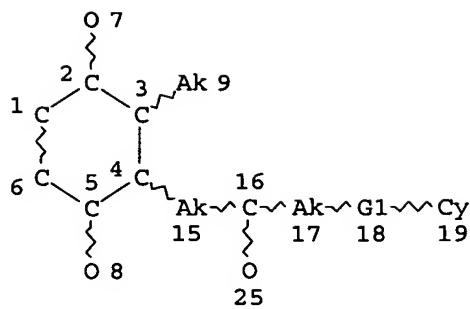
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L9 STR



REP G1=(0-1) A
NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L16 1028259 SEA FILE=REGISTRY ABB=ON PLU=ON (46.150.1 OR 46.150.2)/RID
L18 STR



REP G1=(0-1) A

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 4

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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L23 9 SEA FILE=REGISTRY SUB=L20 SSS FUL L9

L24 25 SEA FILE=REGISTRY ABB=ON PLU=ON L20 NOT L23

L25 2 SEA FILE=REGISTRY ABB=ON PLU=ON L24 AND (C25H30O5S OR C23H30O5)

L26 11 SEA FILE=REGISTRY ABB=ON PLU=ON (L23 OR L25)

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(FILE 'HOME' ENTERED AT 08:30:44 ON 04 APR 2005)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 08:31:18 ON 04 APR 2005

L1 1 S US20050049227/PN OR (US2003-652634# OR WO2004-US26607)/AP,PRN
E ALLERGAN/PA,CS

L2 975 S E3-E82

E OLD D/AU

L3 22 S E3,E5,E7,E8

E BURK R/AU

L4 92 S E3,E10,E17,E22,E23

E DINH T/AU

L5 7 S E3,E5

E DINH THANG/AU

L6 7 S E3,E4

L7 1 S L1 AND L2-L6

SEL RN

FILE 'REGISTRY' ENTERED AT 08:33:24 ON 04 APR 2005

L8 39 S E1-E39

L9 STR

L10 0 S L9

L11 STR L9

L12 0 S L11

L13 31 S L8 AND C6/ES

L14 STR L11

L15 0 S L14

L16 1028259 S (46.150.1 OR 46.150.2)/RID

L17 0 S L14 SAM SUB=L16

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L18      STR L14
L19      0 S L18 SAM SUB=L16
L20      34 S L18 FUL SUB=L16
          SAV L20 SHIAO652/A
L21      13 S L8 AND L20
L22      21 S L20 NOT L21
L23      9 S L9 FUL SUB=L20
          SAV L23 SHIAO652A/A
L24      25 S L20 NOT L23
L25      2 S L24 AND (C25H30O5S OR C23H30O5)
L26      11 S L23,L25
L27      2 S L21 NOT L26
          SAV L26 SHIAO652B/A

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FILE 'HCAOLD' ENTERED AT 08:45:24 ON 04 APR 2005
L28      0 S L26

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FILE 'HCAPLUS' ENTERED AT 08:45:27 ON 04 APR 2005
L29      1 S L26
L30      1 S L29 AND L1-L7

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FILE 'USPATFULL, USPAT2' ENTERED AT 08:45:34 ON 04 APR 2005
L31      1 S L26

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FILE 'REGISTRY' ENTERED AT 08:45:54 ON 04 APR 2005

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FILE 'HCAPLUS' ENTERED AT 08:46:03 ON 04 APR 2005
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FILE COVERS 1907 - 4 Apr 2005 VOL 142 ISS 15
FILE LAST UPDATED: 3 Apr 2005 (20050403/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L30  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN  2005:185378  HCAPLUS
DN  142:261329
ED  Entered STN: 04 Mar 2005
TI  Preparation of cyclohexyl prostaglandin analogs as EP4-receptor agonists
IN  Old, David W.; Burk, Robert M.; Dinh, Thang D.
PA  Allergan, Inc., USA
SO  U.S. Pat. Appl. Publ., 20 pp.
     CODEN: USXXCO
DT  Patent
LA  English

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IC ICM A61K031-66
 ICS A61K031-41; A61K031-18; A61K031-16; A61K031-045
 NCL 514129000; 514381000; 514559000; 514601000; 514613000; 514729000
 CC 26-3 (Biomolecules and Their Synthetic Analogs)
 Section cross-reference(s): 1, 7, 63

FAN.CNT 1

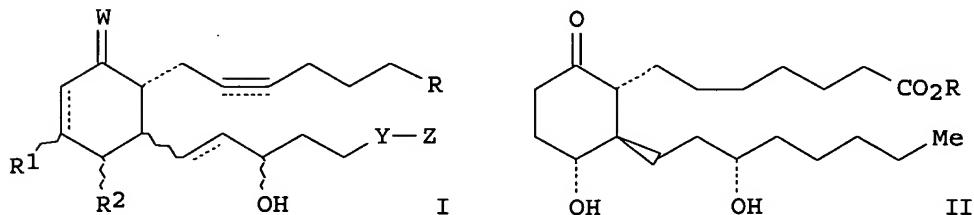
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	WO 2005023267	A1	20050317	WO 2004-US26607	20040816 <--
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PRAI US 2003-652634 A 20030828 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005049227	ICM	A61K031-66
	ICS	A61K031-41; A61K031-18; A61K031-16; A61K031-045
	NCL	514129000; 514381000; 514559000; 514601000; 514613000; 514729000

GI



AB Cyclohexyl prostaglandin analogs, such as I [wavy segment = α or β bond; dashed bond = presence or absence of a bond; R = CO_2R_4 , CONR_4R_4 , CH_2OR_4 , $\text{CONR}_4\text{SO}_2\text{R}_4$, $\text{P}(\text{O})(\text{OR}_4)_2$, tetrazolyl; R4 = H, Ph, alkyl; R1, R2 = H, OH, alkoxy, acyloxy; W = O, halogen; Y = bond, CH_2 , O, S, NH; Z = alkyl, cycloalkyl, heterocyclyl], and pharmaceutically acceptable salt and esters thereof, are prepared as EP4 agonists, in general, and, in particular as ocular hypotensives. Ophthalmic formulations containing I are also described. Thus, II (R = Me), prepared via a multistep reaction sequence starting from [(S)-1-((E)-2-iodo-vinyl)-hexyloxy]-tert-butyldimethylsilylane, lithium 2-thienylcyanocuprate, (4R)-4-(tert-butyldimethylsilyloxy)-2-cyclohexen-1-one and Me 7-iodohept-5-ynoate, was deacylated with rabbit liver esterase to afford cyclohexyl prostaglandin analog II [R = H (III)]. III had EC50 of 387 nM against hEP4.

ST prostaglandin cyclohexyl analog prepn EP4 receptor agonist; cyclohexyl analog prostaglandin prepn ocular hypotensive glaucoma treatment

IT Deacylation
 (enzymic; preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Asymmetric synthesis and induction
 (of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Antiglaucoma agents
 Human
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Prostaglandins
 RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Prostanoid receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (type EP4; preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-33-5P 845791-42-6P 845791-45-9P 845791-49-3P
 845791-52-8P 845791-55-1P 845791-56-2P
 845791-57-3P 845791-58-4P 845791-59-5P
 RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 9016-18-6, Esterase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 7440-05-3, Palladium, uses
 RL: CAT (Catalyst use); USES (Uses)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-38-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 124-63-0, Methanesulfonyl chloride 1112-67-0, Tetrabutylammonium chloride 31776-12-2 41138-67-4, [(S)-1-((E)-2-Iodo-vinyl)-hexyloxy]-tert-butyldimethylsilane 112426-02-5, Lithium 2-thienylcyanocuprate 164577-42-8, (4R)-4-(tert-Butyldimethylsilyloxy)-2-cyclohexen-1-one 736141-49-4 845791-39-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

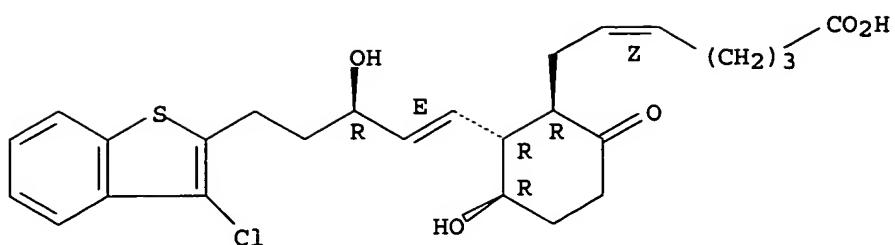
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 845791-53-9P 845791-54-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-33-5P 845791-52-8P 845791-55-1P
 845791-56-2P 845791-57-3P 845791-58-4P
 RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

RN 845791-33-5 HCPLUS

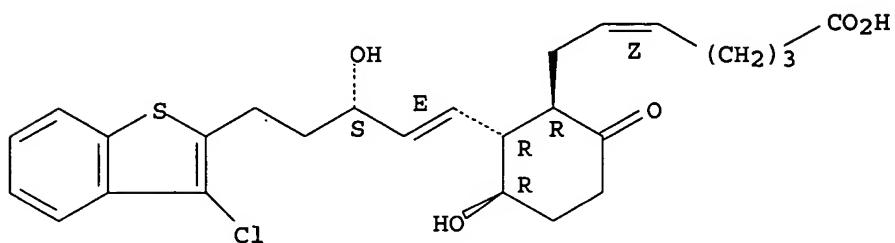
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



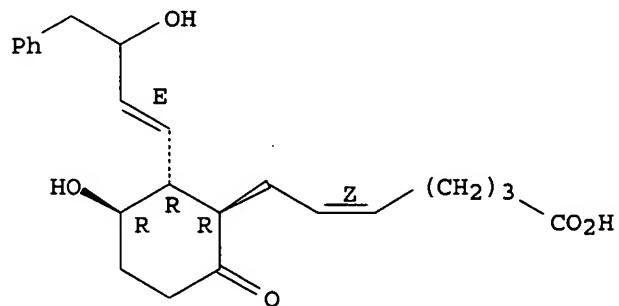
RN 845791-52-8 HCPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



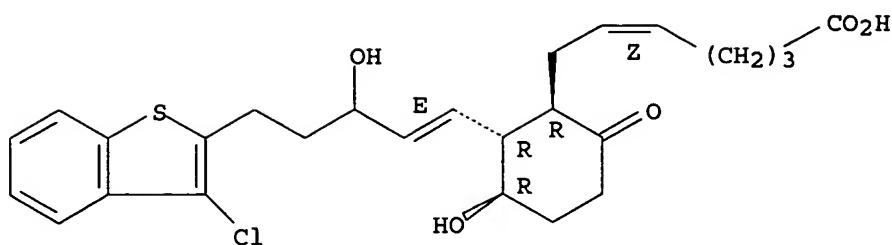
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CN 5-Heptenoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-4-phenyl-1-butenyl]-6-oxocyclohexyl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



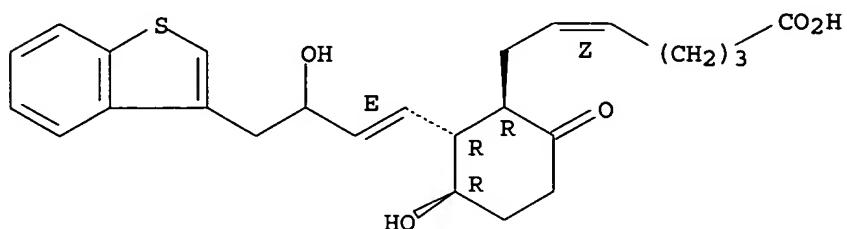
RN 845791-56-2 HCPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



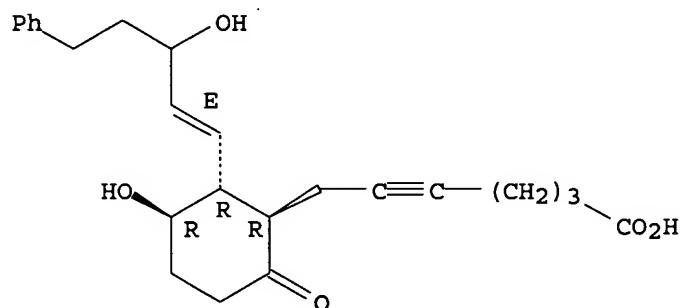
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 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



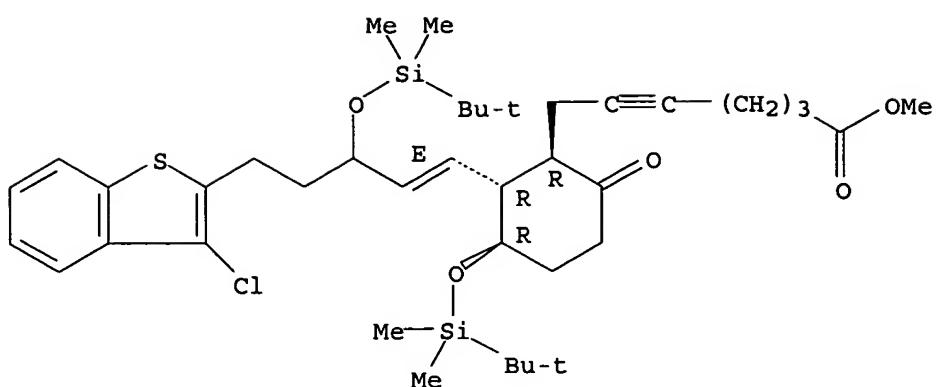
RN 845791-58-4 HCAPLUS
 CN 5-Heptynoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-5-phenyl-1-pentenyl]-6-oxocyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



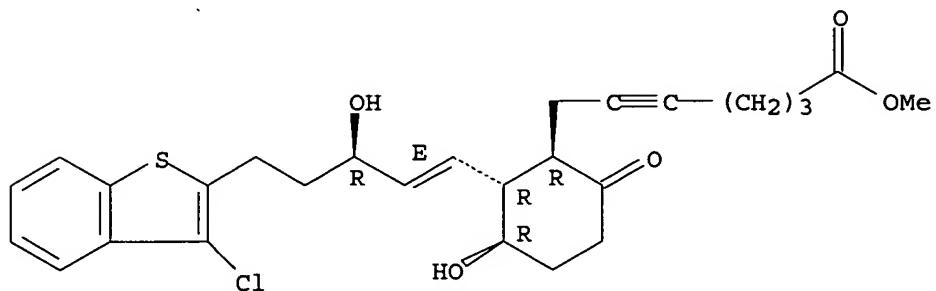
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 845791-50-6P 845791-51-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)
 RN 845791-30-2 HCAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



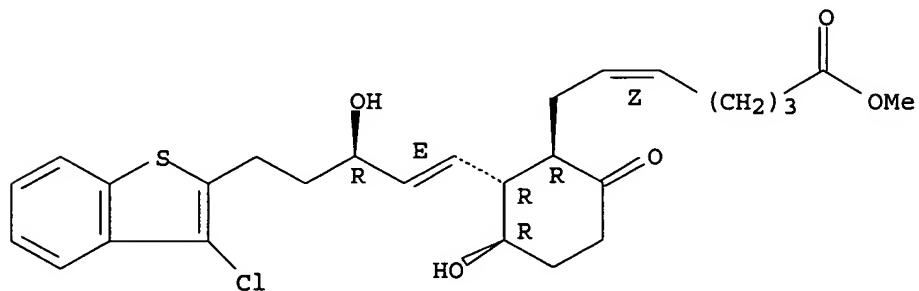
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Absolute stereochemistry.
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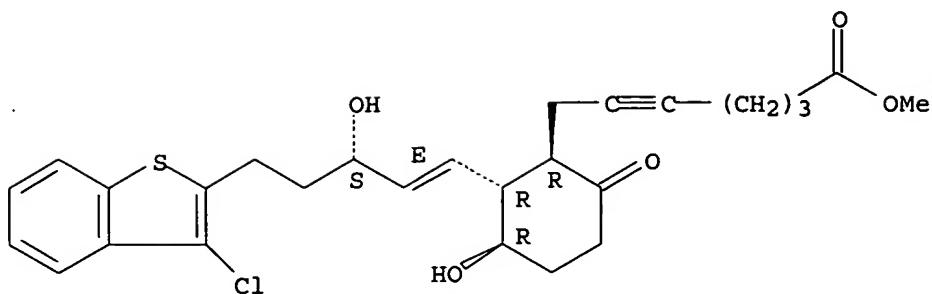
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



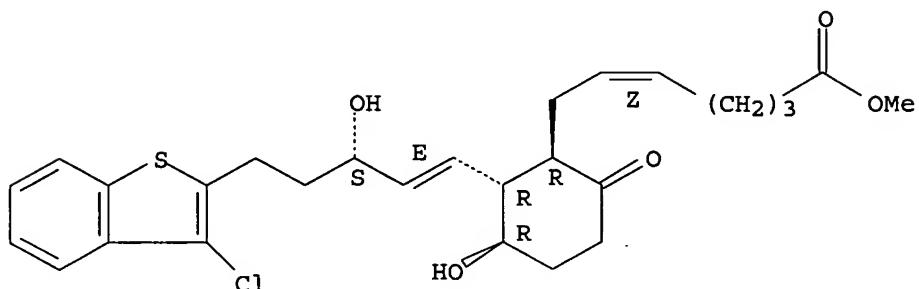
RN 845791-50-6 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 845791-51-7 HCAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



=> fil uspatall
 FILE 'USPATFULL' ENTERED AT 08:46:10 ON 04 APR 2005
 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 08:46:10 ON 04 APR 2005
 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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L31 ANSWER 1 OF 1 USPATFULL on STN
 AN 2005:57310 USPATFULL
 TI Cyclohexyl prostaglandin analogs as EP4-receptor agonists
 IN Old, David W., Irvine, CA, UNITED STATES
 Burk, Robert M., Laguna Beach, CA, UNITED STATES
 Dinh, Thang D., Garden Grove, CA, UNITED STATES
 PA Allergan, Inc. (U.S. corporation)
 PI US 2005049227 A1 20050303
 AI US 2003-652634 A1 20030828 (10)
 DT Utility
 FS APPLICATION
 LREP Robert J. Baran, ALLERGAN, INC., Legal Department, 2525 Dupont Drive,
 Irvine, CA, 92612
 CLMN Number of Claims: 30
 ECL Exemplary Claim: 1
 DRWN 6 Drawing Page(s)
 LN.CNT 904

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of novel cyclohexyl analogues of E-type prostaglandins as EP.sub.4 agonists, in general, and, in particular as ocular hypotensives. The cyclohexyl analogues used in accordance with

the invention are represented by the following formula I: ##STR1##

wherein the wavy segments represent α or β bond, dashed line represents the presence or absence of a bond W, Y, Z, R, R¹, R² and R³ are as defined in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

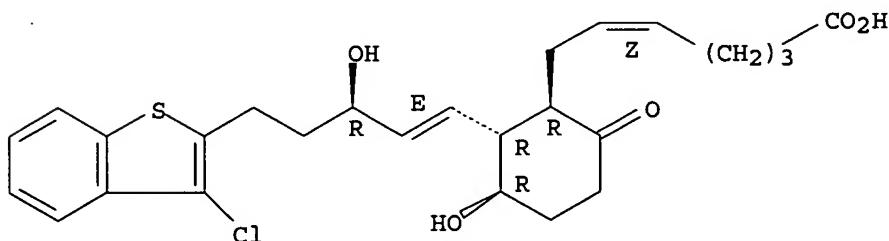
IT 845791-33-5P 845791-52-8P 845791-55-1P
845791-56-2P 845791-57-3P 845791-58-4P

(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

RN 845791-33-5 USPATFULL

CN INDEX NAME NOT YET ASSIGNED

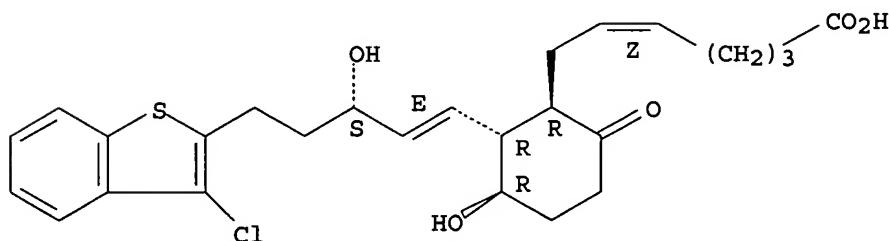
Absolute stereochemistry.
Double bond geometry as shown.



RN 845791-52-8 USPATFULL

CN INDEX NAME NOT YET ASSIGNED

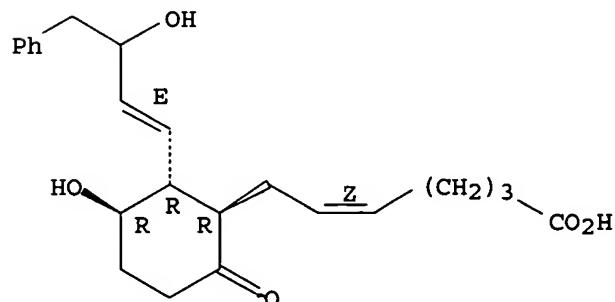
Absolute stereochemistry.
Double bond geometry as shown.



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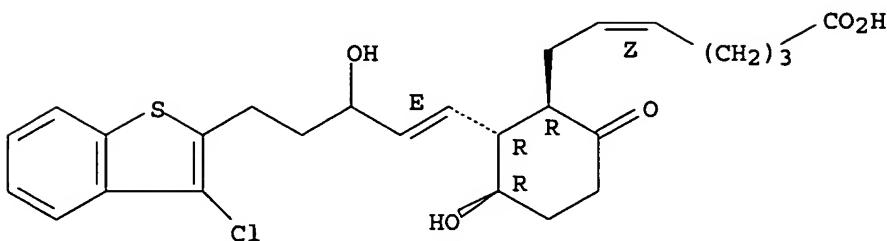
CN 5-Heptenoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-4-phenyl-1-butenyl]-6-oxocyclohexyl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



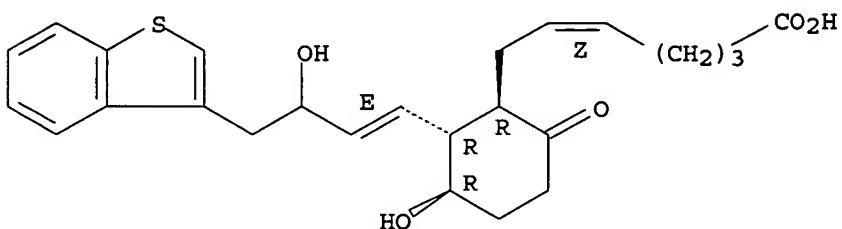
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 CN INDEX NAME NOT YET ASSIGNED

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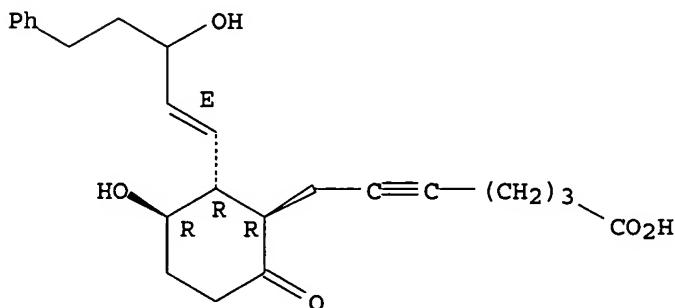
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 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



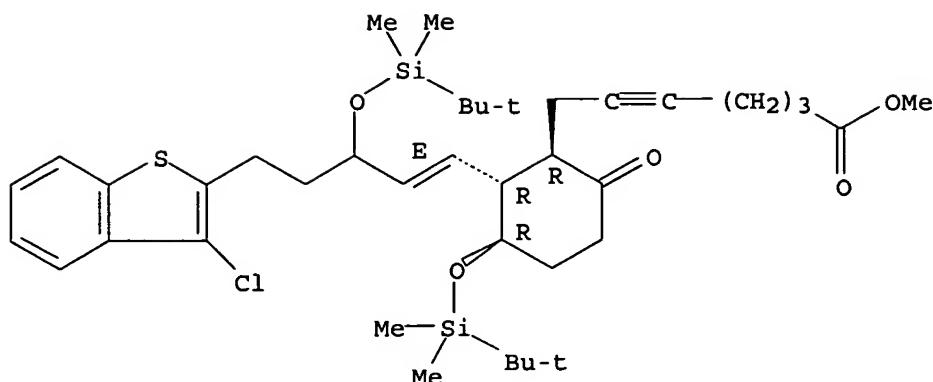
RN 845791-58-4 USPATFULL
 CN 5-Heptynoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-5-phenyl-1-pentenyl]-6-oxocyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



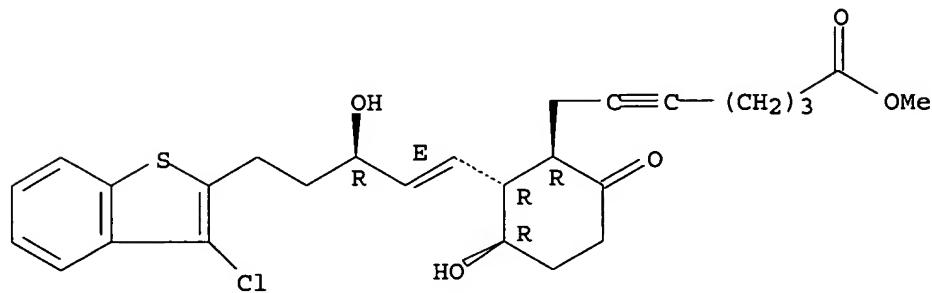
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 (preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)
 RN 845791-30-2 USPATFULL
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



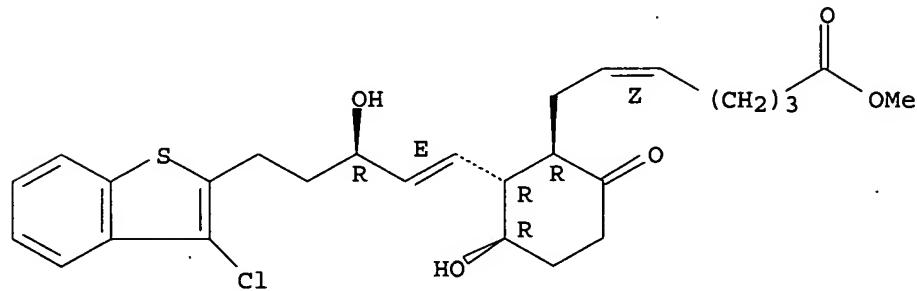
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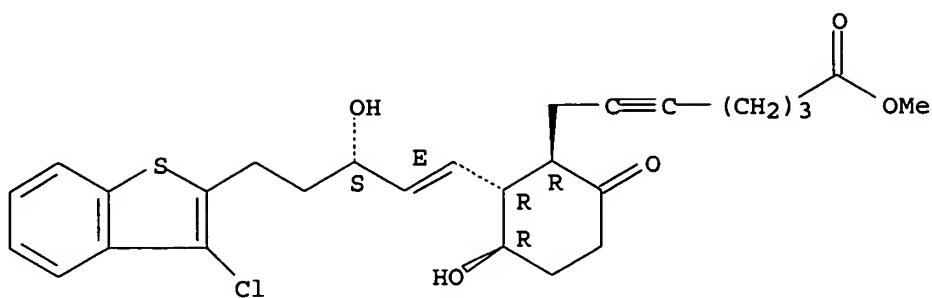
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 Double bond geometry as shown.



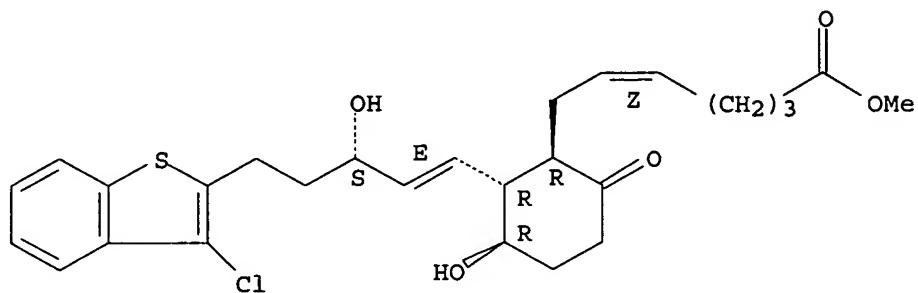
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 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
 Double bond geometry as shown.



RN 845791-51-7 USPATFULL
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



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